EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
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L3	56	l2 and (protect$) same (formyl$)	US-PGPUB; USPAT	OR	OFF	2006/12/24 17:30

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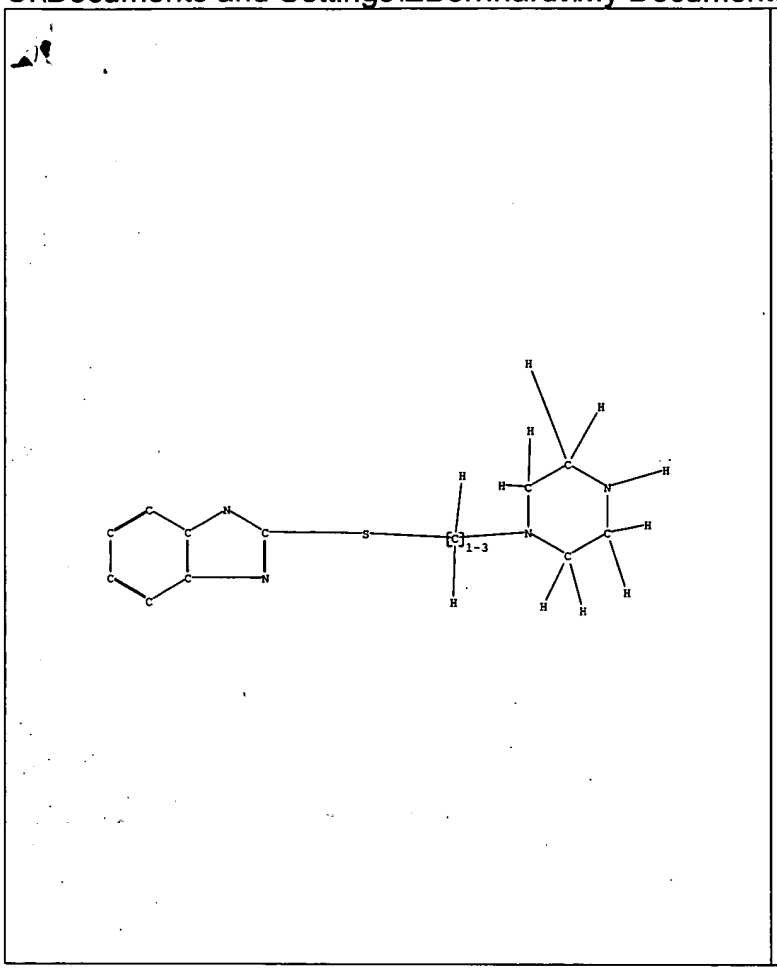

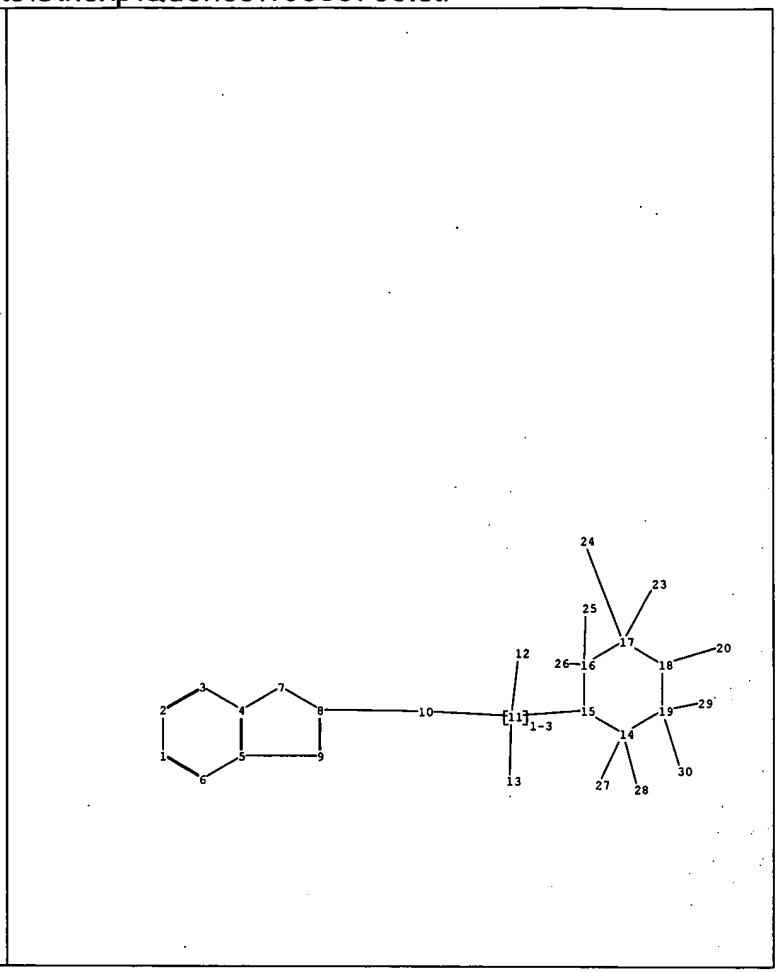

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ring nodes :
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8-10 10-11 11-12 11-13 11-15 14-27 14-28 16-25 16-26 17-23 17-24 18-20 19-29 19-30
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 14-15 14-19 15-16 16-17 17-18 18-19
exact/norm bonds :
4-7 5-9 7-8 8-9 8-10 10-11 11-15 14-15 14-19 15-16 16-17 17-18 18-19
exact bonds :
11-12 11-13 14-27 14-28 16-25 16-26 17-23 17-24 18-20 19-29 19-30
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS
13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 23:CLASS 24:CLASS 25:CLASS
26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS

10535705

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SAMPLE SCREEN SEARCH COMPLETED -       61 TO ITERATE

100.0% PROCESSED       61 ITERATIONS                              1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          752 TO     1688
PROJECTED ANSWERS:               1 TO       79

L2             1 SEA SSS SAM L1

=> s l1 sss full
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SEARCH TIME: 00.00.01

L3            12 SEA SSS FUL L1

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COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 167.38     167.59

FILE 'REGISTRY' ENTERED AT 17:40:21 ON 24 DEC 2006
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STRUCTURE FILE UPDATES:   22 DEC 2006  HIGHEST RN 916305-68-5
DICTIONARY FILE UPDATES:  22 DEC 2006  HIGHEST RN 916305-68-5

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http://www.cas.org/ONLINE/UG/regprops.html

=> s l3/p
'P' IS NOT A VALID CROSSOVER QUALIFIER FOR L3
Answer sets created in a different file may be field qualified with a
limited set of qualifiers.  Enter HELP CROSSOVER at an arrow prompt
(=>) for specific information.

=> s l3
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10535705

SAMPLE SEARCH INITIATED 17:40:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 61 TO ITERATE

100.0% PROCESSED 61 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 752 TO 1688
PROJECTED ANSWERS: 1 TO 79

L4 1 SEA SSS SAM L1

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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.44 168.03

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 24 Dec 2006 VOL 146 ISS 1
FILE LAST UPDATED: 22 Dec 2006 (20061222/ED)

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http://www.cas.org/infopolicy.html

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L5 7 L3

=> s l5/p
FIELD CODES CANNOT BE CHANGED HERE
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L6 7 L3/P

=> s l6 and formyl?/ab,bi
40320 FORMYL?/AB
70473 FORMYL?/BI
L7 2 L6 AND FORMYL?/AB,BI

=> d l7 1-2 bib abs

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:467869 CAPLUS
DN 141:23553
TI Process for preparation of 1-[2-(benzimidazol-2-yl-thio)ethyl]piperazine derivatives
IN Shibuya, Kimiyuki; Sato, Yukihiro
PA Kowa Co., Ltd., Japan
SO PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004048342	A1	20040610	WO 2003-JP15154	20031127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2003284459	A1	20040618	AU 2003-284459	20031127
EP 1566381	A1	20050824	EP 2003-775913	20031127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006035906	A1	20060216	US 2005-535705	20050520
PRAI JP 2002-346114	A	20021128		
WO 2003-JP15154	W	20031127		

AB An improved process for the preparation of 1-[2-(benzimidazol-2-yl-thio)ethyl]piperazine, which is useful as ACAT inhibitor, is disclosed. Reaction of 1-formyl-4-(3-hydroxyethyl)piperazine with 2-mercaptobenzimidazole gave 1-[2-(benzimidazol-2-yl-thio)ethyl]-4-formylpiperazine in 74% yield. Deprotection by HCl afforded the title compd in 97% yield. Thus, the present invention provides a process producing the title compound and its intermediates with high yield, simplified procedure and easy scale-up.
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:551499 CAPLUS
DN 139:101148
TI Process for preparation of piperazine derivatives
IN Shibuya, Kimiyuki; Ohgiya, Tadaaki; Sato, Yukihiro; Miura, Toru
PA Kowa Co., Ltd., Japan
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003057675	A1	20030717	WO 2002-JP13793	20021227
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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU	2002367268	A1	20030724	AU 2002-367268	20021227
EP	1460065	A1	20040922	EP 2002-790938	20021227
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US	2005032814	A1	20050210	US 2004-498984	20040625
US	6998486	B2	20060214		
PRAI JP	2001-401044	A	20011228		
	WO 2002-JP13793	W	20021227		

OS MARPAT 139:101148
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention pertains to a method for producing cyclic diamines with general formula of I [wherein Ar = (un)substituted aryl] or salts or intermediates thereof. The reaction of II [wherein R = protecting group] with 2-mercaptobenzimidazole or bis(2-benzimidazolyl)disulfide in the presence of a phosphine or a phosphonium ylide reagent gives III. III is deprotected, and reacted with YCH2CONHAr [wherein Y = halo] to produce I. For example, 1-formyl-4-(2-hydroxyethyl)piperazine was reacted with 2-mercaptobenzimidazole in DMF in the presence of PPh3 and di-Et azodicarbonate to give 1-formyl-4-[2-(mercaptobenzimidazol-2-ylthio)ethyl]piperazine (90%). The above compound was deprotected with 12 N HCl in MeOH to produce 1-[2-(benzimidazol-2-ylthio)ethyl]piperazine•3H Cl (90%). The compound obtained was coupled with N-[2,4-bis(methylthio)-6-methylpyridin-3-yl]-2-bromoacetamide in MeCN in the presence of K2CO3 to afford the amide IV (88%). I can be industrially advantageously produced in high yield and at high purity.
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l6 not l7
L8 5 L6 NOT L7

=> d l8 1-5 bib abs

L8 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:30569 CAPLUS
DN 144:129002
TI Process for the preparation of cyclic diamine derivative
IN Shibuya, Kimiyuki; Tosaka, Ayako
PA Kowa Co., Ltd., Japan
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2006003974	A1	20060112	WO 2005-JP12041	20050630

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2004-193349 A 20040630

OS MARPAT 144:129002

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Process for preparing compds. I [A = NH, O, S; W1-W4 = CH, or one of W1-W4 is N; R1 = alkylthio; R2-R4 = H, halo, alkyl, etc.; m, n (undefined)] via reaction of compds. II [R1 = same as above] with compds. III [A, W1-W4, R2-R3, m, n = same as above] in the presence of a phosphorus compound was disclosed. Therefore, to a mixture of compound II [R1 = SMe] (373 mg), 1-[2-(benzimidazol-2-ylthio)ethyl]piperazine (1.40 g) and PPh3 (1.34 g) in DMF (20 mL) was added azodicarboxylic acid di-Et ester (1.88 mL) over a period of 5 min. Then, stirring at room temperature for 1 h followed by aqueous work-up and silica-gel purification afforded compound I [A = NH; W1-W4 = CH; R1 = SMe; R2-R4 = H; m = 1; n = 2] in 51% yield.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:29328 CAPLUS

DN 142:114069

TI Preparation of benzimidazole compounds containing 2,4-bis(trifluoroethoxy)pyridine moiety as ACAT inhibitors

IN Shibuya, Kimiyuki; Ohgiya, Tadaaki; Matsuda, Takayuki; Miura, Toru

PA Kowa Co., Ltd., Japan

SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005003119	A1	20050113	WO 2004-JP9563	20040706

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

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	AU 2004254226	A1	20050113	AU 2004-254226	20040706
	CA 2529207	A1	20050113	CA 2004-2529207	20040706
	US 2005020606	A1	20050127	US 2004-883710	20040706
	EP 1642899	A1	20060405	EP 2004-747032	20040706
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN 1816542	A	20060809	CN 2004-80019168	20040706
	NO 2005006169	A	20060116	NO 2005-6169	20051223
PRAI	JP 2003-192853	A	20030707		
	WO 2004-JP9563	W	20040706		

OS MARPAT 142:114069

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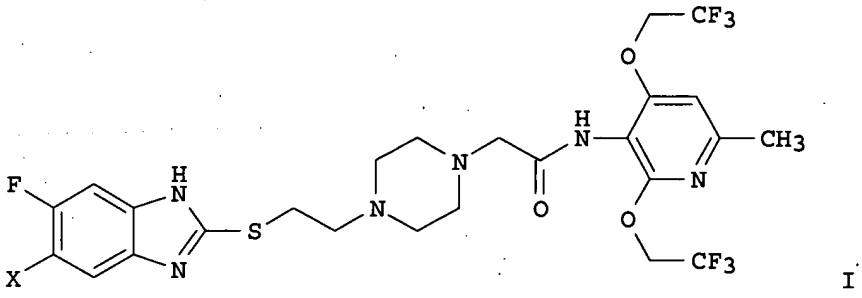

I

AB Title compds I [X = H, F] were prepared For example, reaction of 2-[4-[2-(hydroxy)ethyl]piperazin-1-yl]-N-[2,4-bis(2,2,2-trifluoroethoxy)-6-methylpyridin-3-yl]acetamide with 5,6-difluoro-2-mercaptobenzimidazole under Mitsunobu reaction condition afforded compound I [X = F] in 90.1% yield. In ACAT (acyl CoA cholesterol acyl transferase) inhibition assays, the IC50 value of compound I [X = F] was 75 nM. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:740316 CAPLUS
DN 141:260770
TI Piperazine related compounds and process for producing acid adduct salt thereof
IN Shibuya, Kimiyuki; Ohgiya, Tadaaki; Matsuda, Takayuki
PA Kowa Co., Ltd., Japan
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004076441	A1	20040910	WO 2004-JP2375	20040227
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LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG

AU	2004215523	A1	20040910	AU 2004-215523	20040227
CA	2516822	A1	20040910	CA 2004-2516822	20040227
EP	1598346	A1	20051123	EP 2004-715495	20040227
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR	2004007908	A	20060214	BR 2004-7908	20040227
CN	1753886	A	20060329	CN 2004-80005262	20040227
US	2006079688	A1	20060413	US 2005-545200	20050811
PRAI JP	2003-52700	A	20030228		
	WO 2004-JP2375	A	20040227		

OS MARPAT 141:260770

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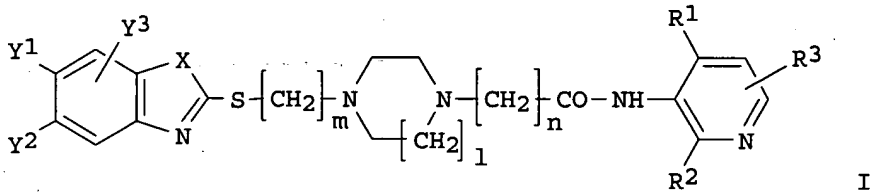

I

AB A process for producing an acid adduct salt of polyacidic base compd or a water adduct thereof characterized in that a polyacidic base compound having a moiety of basicity stronger than that of pyridine is reacted with an acid salt of pyridine was disclosed. Piperazine related compds. I [X = NH, O, S; Y1, Y2, Y3 = H, halo, etc.; R1, R2, R3 = H, halo, etc; l = 1, 2; m = 2-4; n = 1-3] were prepared For example, a mixture of compound I [X = NH; Y1 = Y2 = Y3 = H; R1 = R2 = SMe; R3 = 6-methyl; l = 1; m = 2; n = 1] (2.00 kg) and pyridine hydrochloride (0.92 kg) in ethanol (12 L) was stirred at reflux to give clear solution Water (20 L) was added dropwise to a resulting solution at 75-87 °C, then stirring at room temperature for 1 h furnished compound I [X = NH; Y1 = Y2 = Y3 = H; R1 = R2 = SMe; R3 = 6-methyl; l = 1; m = 2; n = 1]·HCl (1.96 kg). Compound I [X = NH; Y1 = Y2 = Y3 = H; R1 = R2 = SMe; R3 = 6-methyl; l = 1; m = 2; n = 1]·HCl (1.96 kg) was dispersed in water (40 L), followed by removal of water and cooling to room temperature to afford compound I [X = NH; Y1 = Y2 = Y3 = H; R1 = R2 = SMe; R3 = 6-methyl; l = 1; m = 2; n = 1]·HCl (1.96 kg)·HCl·0.9H2O (1.70 kg). Of note, disclosed process enables easy appropriate changing of the acid addition quantity of the acid adduct salt of polyacidic base compound to a quantity suitable for the polyacidic base compound

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:162460 CAPLUS
DN 140:217669
TI Preparation of novel cyclic diamine compounds as inhibitors of acyl CoA

cholesterol acyltransferase (ACAT)

IN Shibuya, Kimiyuki; Kawamine, Katsumi; Sato, Yukihiro; Miura, Toru; Ozaki, Chiyoka; Edano, Toshiyuki; Hirata, Mitsuteru; Ohgiya, Tadaaki
PA Kowa Company, Ltd., Japan

SO U.S. Pat. Appl. Publ., 95 pp., Cont.-in-part of U.S. Ser. No. 424,417, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004038987	A1	20040226	US 2003-371234	20030220
US 6969711	B2	20051129		
WO 9854153	A1	19981203	WO 1998-JP2300	19980526

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PRAI JP 1997-149892 A 19970526
WO 1998-JP2300 A 19980526
US 2000-424417 B2 20000330
OS MARPAT 140:217669

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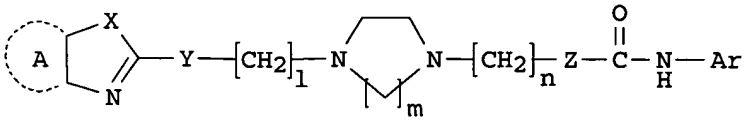

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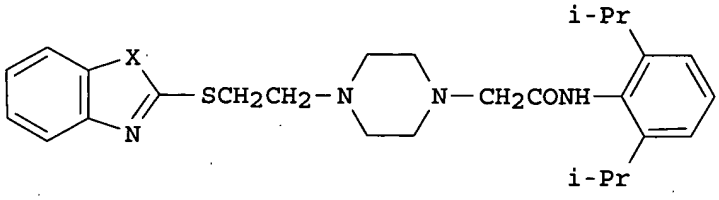


II

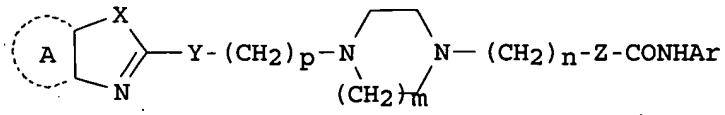
AB The title substituted piperazines and homopiperazines (1,4-diazepines) I [ring A = (un)substituted benzene, pyridine, cyclohexane, or naphthalene or vinylene divalent residue; Ar = (un)substituted aryl; X = NH, O, S; Y = NR1, O, S, SO, SO2; Z = single bond or NR2; R1, R2 = H, (un)substituted alkyl, aryl, silylalkyl; l = 0-15; m = 2-3; n = 0-3] and salts or solvates, useful for therapy or prevention of hyperlipidemia, arteriosclerosis, cerebrovascular disorder, ischemic cardiopathy, ischemic entheropathy or aortic aneurysm, were prepared Thus, N-(2,6-diisopropylphenyl)-2-[4-(2-hydroxyethyl)piperazin-1-yl]acetamide was mesylated in the presence of Et3N and 4-dimethylaminopyridine in THF and then condensed with 2-mercaptobenzoxazole to give the title compound [II; X = O]. The latter compound and II [X = NH] showed IC50 of 0.024 and 0.011 μM against ACAT derived from rabbit blood cell wall, resp., and 0.045 and 0.051 against ACAT derived from rabbit small intestine, resp. The

pharmaceutical composition comprising the compound I is claimed.
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:794988 CAPLUS
DN 130:52439
TI Preparation of novel cyclic diamine compounds as inhibitors of acyl CoA cholesterol acyltransferase (ACAT)
IN Shibuya, Kimiyuki; Kawamine, Katsumi; Sato, Yukihiro; Miura, Toru; Ozaki, Chiyoka; Edano, Toshiyuki; Hirata, Mitsuteru
PA Kowa Company, Ltd., Japan
SO PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9854153	A1	19981203	WO 1998-JP2300	19980526
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2290744	A1	19981203	CA 1998-2290744	19980526
	AU 9874512	A	19981230	AU 1998-74512	19980526
	AU 728151	B2	20010104		
	EP 987254	A1	20000322	EP 1998-921809	19980526
	EP 987254	B1	20041222		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	HU 200002294	A2	20010928	HU 2000-2294	19980526
	NZ 501156	A	20020201	NZ 1998-501156	19980526
	RU 2207341	C2	20030627	RU 1999-128053	19980526
	CN 1118457	B	20030820	CN 1998-805498	19980526
	TW 589308	B	20040601	TW 1998-87108155	19980526
	AT 285402	T	20050115	AT 1998-921809	19980526
	JP 3614865	B2	20050126	JP 1999-500471	19980526
	PT 987254	T	20050429	PT 1998-921809	19980526
	ES 2235328	T3	20050701	ES 1998-921809	19980526
	SK 284891	B6	20060202	SK 1999-1582	19980526
	NO 9905783	A	20000126	NO 1999-5783	19991125
	NO 315045	B1	20030630		
	US 2004038987	A1	20040226	US 2003-371234	20030220
	US 6969711	B2	20051129		
PRAI	JP 1997-149892	A	19970526		
	WO 1998-JP2300	W	19980526		
	US 2000-424417	B2	20000330		

OS MARPAT 130:52439
GI



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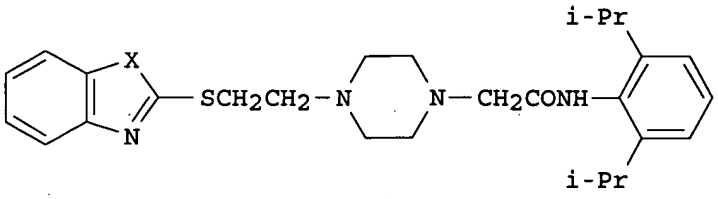

II

AB N,N-dialkylpiperazine and -homopiperazine (1,4-diazepine) compds. represented by formula (I; ring A = optionally substituted benzene, pyridine, cyclohexane, or naphthalene or vinylene divalent residue; Ar = optionally substituted aryl; X = NH, oxygen, or sulfur; Y = NR1, oxygen, sulfur, sulfoxide, or sulfone; Z = single bond or NR2; R1, R2 = hydrogen, optionally substituted lower alkyl, optionally substituted aryl, or optionally substituted lower silylalkyl; p = an integer of 0 to 15; m = 2 or 3; n = an integer of 0 to 3) and salts or solvates of these are prepared Theses compds. are also useful as inhibitors of cellular cholesterol transport and macrophage foam cell formation, and as serum cholesterol lowering agents and for treatment and prevention of high lipidemia, arteriosclerosis, cerebral vascular diseases, ischemic heart diseases, ischemic intestinal diseases, and aortic aneurysm. Thus, N-(2,6-diisopropylphenyl)-2-[4-(2-hydroxyethyl)piperazin-1-yl]acetamide was mesylated by methanesulfonyl chloride in the presence of Et3N and 4-dimethylaminopyridine in THF and then condensed with 2-mercaptobenzoxazole to give the title compound (II; X = O). The latter compound and II (X = NH) showed IC50 of 0.024 and 0.011 μM against ACAT derived from rabbit chest aorta, resp., and 0.045 and 0.051 ACAT derived from rabbit small intestine aorta, resp. Although a reference compound, 6-(benzoxazol-2-ylthio)-N-(2,6-diisopropylphenyl)nonamide, showed higher activity against ACAT (IC50 of 0.007 and 0.61 μM for ACAT derived from rabbit chest and small intestine aorta, resp.), the water solubility was much lower, i.e. 0.05 μg/mL at pH 1.2 vs. 14 mg/mL for II (X = O).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST	24.46	192.49

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L9            0 L3

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